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INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification⁴ : A61K 7/06, 31/54, 31/40		A1	(11) International Publication Number: WO 88/00040 (43) International Publication Date: 14 January 1988 (14.01.88)
(21) International Application Number:	PCT/US87/01575		20 January 1987 (20.01.87) 20 January 1987 (20.01.87) 20 January 1987 (20.01.87)
(22) International Filing Date:	2 July 1987 (02.07.87)		
(31) Priority Application Numbers:	881,233 883,671 883,681 883,679 883,678 883,680 883,682 883,683 004,455 004,457 004,458 004,459 004,460 004,461 004,462		(33) Priority Country: US
(32) Priority Dates:	2 July 1986 (02.07.86) 9 July 1986 (09.07.86) 9 July 1986 (09.07.86) 20 January 1987 (20.01.87) 20 January 1987 (20.01.87) 20 January 1987 (20.01.87) 20 January 1987 (20.01.87)		(71) Applicant: AMERICAN HEALTH PRODUCTS CORPORATION [US/US]; 200 South Biscayne Boulevard, Miami, FL 33131 (US). (72) Inventors: FROST, Phillip ; 200 South Biscayne Boulevard, Miami, FL 33131 (US). FISHMAN, Jack ; 876 Park Avenue, New York, NY 10028 (US). (74) Agents: WEGNER, Harold, C. et al.; Wegner & Bretschneider, P.O. Box 18218, Washington, DC 20036 (US). (81) Designated States: AT (European patent), BE (European patent), CH (European patent), DE (European patent), DK, FI, FR (European patent), GB (European patent), IT (European patent), JP, KR, LU (European patent), NL (European patent), NO, SE (European patent).

Published

With international search report.

(54) Title: TOPICAL HAIR GROWING COMPOSITION AND KIT

(57) Abstract

A method for enhancing growth of fine vellous hair into terminal hair in an at least partially bald person which comprises topically applying to the scalp a compound selected from the group consisting of 6-chloro-3,4-dihydro-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide, 6-chloro-3-(dichloromethyl)-3,4-dihydro-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide, 2-chloro-5-(1-hydroxy-3-oxo-1-isoindolinyl)benzene sulfonamide, 3,4-dihydro-3-(phenylmethyl)-6-(trifluoromethyl)-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide, 6-chloro-3-(chloromethyl)-3,4-dihydro-2-methyl-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide, 6-chloro-3,4-dihydro-2-methyl-3-[(2,2,2-trifluoroethyl)thio]methyl]-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide and 6-chloro-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide whereby the smooth muscles in the small blood vessels in the papilla part of connective tissue of skin that supplies the hair follicle is relaxed, thereby increasing blood flow to the hair matrix leading to the maturation of fine hairs into terminal hairs. Also provided is a topical medication and method for reversing the effects of baldness focused upon said compound as the active ingredient. A kit is provided which comprises the medication with said compound suitable for reversing the effects of baldness on the scalp of an at least partially bald subject which comprises a package including said compound and directions for administration of said compound to said scalp for the reversal of the effects of baldness.

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Topical hair growing composition and kit.

In accordance with a first aspect of the invention there is provided a method of enhancing growth of fine vellous hair into terminal hair in an least partially bald person which 5 comprises topically applying to the scalp a compound selected from the group consisting of 6-chloro-3,4-dihydro-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide, 6-chloro-3-(dichloromethyl)-3,4-dihydro-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide, 2-chloro-5-(1-hydroxy-3-oxo-1-10 isoindolinyl)benzene sulfonamide, 3,4-dihydro-3-(phenylmethyl)-6-(trifluoromethyl)-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide, 6-chloro-3-(chloromethyl)-3,4-dihydro-2-methyl-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide, 6-chloro-3,4-dihydro-2-methyl-3-[(2,2,2-trifluoro-15 ethyl)thio]methyl}-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide, 6-chloro-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide and 3,4-dihydro-6-trifluoromethyl-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide whereby the smooth muscles in the small blood vessels in the papilla part of 20 connective tissue of skin that supplies the hair follicle is relaxed, thereby increasing blood flow to the hair matrix leading to the maturation of fine hairs into terminal hairs. Thus, the method provides permitting the normal growth of fine vellous hair into terminal hair. According to this aspect of 25 the invention, in one embodiment there is provided a method of permitting the normal growth of fine vellous hair into terminal hair in an least partially bald person in accordance with claim 1, wherein said compound is applied from a topical solution containing at least about 0.01 weight percent said 30 compound in a suitable carrier for said compound.

The total amount of said compound applied each day to the scalp of the patient will vary dependent upon the individual patient. It is contemplated that said compound is administered at least once per day, with one embodiment being 35 twice per day application. The concentration of said compound

is also not critical as it is the total amount of said compound that is important. The suitable solvent serves to place said compound in contact with the bald area, so that ultimately there is only the said compound acting directly on 5 the site to the effected. Because the dosage is topical, essentially 100% of said compound is in direct contact with the area to be treated, so that very low dosages can be used.

In a preferred embodiment the individual dosage will be from about 0.5 to about 2 cc, once or twice per day, at any of 10 the concentration ranges.

In one embodiment of this first aspect of the present invention there is provided a topical solution containing at least about 0.01 weight percent said compound in a suitable carrier for said compound. The total amount of said compound 15 in the suitable carrier may vary greatly, it being understood that it is the total dosage of said compound that is important, and not the total amount of the total solution. To the extent that it is desired not to have too great an amount of said compound applied to any one spot on the scalp, 20 a more dilute solution is preferred so that a larger total volume of fluid is applied to the scalp. The maximum amount of said compound is widely varied and said compound may be present up to the saturation point in the suitable solvent. One preferred embodiment is the provision of at least about 25 0.01% said compound.

In a preferred embodiment of this first aspect of the present invention, said suitable carrier is propylene glycol. In yet another preferred embodiment, said suitable carrier is an ethanolic solution.

30 In a second aspect of the present invention, there is provided a topical medication for reversing the effects of baldness on the scalp of an at least partially bald subject which comprises a baldness-reversing amount of said compound in a form suitable for topical administration in a carrier

therefor, said compound upon continued application to said scalp effecting the growth of hair thereon. In said topical medication said compound is in one embodiment present in an amount of at least about 0.01 weight percent said compound in 5 said suitable carrier for said compound.

In a third aspect of the present invention there is provided a method for reversing the effects of baldness on the scalp of an at least partially bald subject which comprises administering topically to said scalp a baldness-reversing 10 amount of said compound, said compound upon continued application to said scalp effecting the growth of hair thereon. In a preferred embodiment of said method, said compound is applied from a topical solution containing at least about 0.01 weight percent compound in a suitable carrier 15 for said compound.

In a fourth aspect of the present invention there is provided a kit containing a medication suitable for reversing the effects of baldness on the scalp of an at least partially bald subject which comprises a package containing:

- 20 (a) a container including said compound in a form suitable for topical administration to the scalp of said subject; and
- 25 (b) directions for administration of said compound to said scalp for the reversal of the effects of baldness

 said compound upon continued application to said scalp effecting the growth of hair thereon. The container may be a standard pharmaceutical container such as a bottle with label 30 directions attached directly to the bottle which explain that said compound which is the active ingredient of the present kit, topical medication and method, is to be topically administered to the scalp of an at least partially bald patient wishing to have hair growth in the bald areas of his

scalp. Alternatively, the container may be a box or other cardboard, plastic or similar container having therein both a package insert with instructions on how to use said compound as a topical baldness treatment together with an inner 5 container of said compound in a suitable solvent therefor.

Baldness generally is due to the failure of the hairs to be permitted to grow into terminal hairs, the large "hair" as laymen understand that term to be. Instead, the fine vellous hair that normally would grow into the terminal hair is 10 essentially precluded from such growth. Said compound acts in the following manner. The smooth muscles in the small blood vessels in the papilla part of connective tissue of skin that supplies the hair follicle are relaxed, thereby increasing blood flow to the hair matrix leading to the maturation of 15 fine hairs into terminal hairs. As a result, there is permitted the maturation of the fine hairs into terminal hairs as would be the case in a normal person without baldness.

EXAMPLE I

20 The compound 6-chloro-3,4-dihydro-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide is described in the literature, including Downing, U.S. patent 3,043,840 (1962), Irons et al., U.S. patent 3,164,588 (1965), de Stevens et al., U.S. patent 3,163,645 (1964), and Jones et al., U.S. patent 25 3,025,292.

The use of 6-chloro-3,4-dihydro-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide is known as a diuretic agent, but never as a topical medication.

Reference has been made herein to 6-chloro-3,4-dihydro-30 2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide. It is to be understood that any derivatives and salt forms of 6-chloro-3,4-dihydro-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide are also contemplated within the scope of the